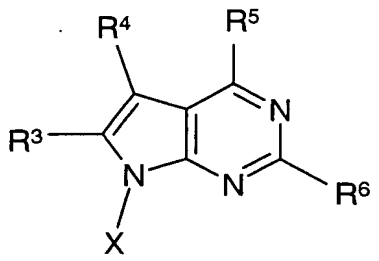
Patent Claims

1. Compounds of the formula I



I

in which

X is phenyl or Het, each of which is unsubstituted or monosubstituted or polysubstituted by R^1 and/or R^2,

R^1 and R^2 are each, independently of one another, A, OH, OA, SA, SOA, SO_2A, SO_2NH_2, SO_2NHA, SO_2AA', CN, NO_2, NH_2, NHA, NAA', NHCOA, NHCOOA, COOH, COOA, $CONH_2$, CONHA, CONAA' or Hal,

R^1 and R^2 together are alternatively $-OCH_2O-$ or $-OCH_2CH_2O-$,

R^3 is A, OH, OA, SA, SOA, SO_2A, SO_2NH_2, SO_2NHA, SO_2AA', CN, NO_2, NH_2, NHA, NHB, NAA', NHCOA, NHCOOA, NHCOB, NHCOOB, COOH, COOA, COOB, $CONH_2$, CONHA, CONHB, CONAA' or Hal,

R^4 is branched or unbranched alkyl or alkenyl having up to 10 carbon atoms, which may be substituted by from 1 to 5 F and/or Cl atoms and/or in which one or more CH_2 groups may be replaced by O, S, SO, SO_2, NH, NA, NHCO, NACO, NHCOO or NACOO,
or cycloalkyl or cycloalkenyl having from 3 to 7 carbon atoms, in which one or two CH_2 groups may be replaced by O, S, SO, SO_2, SO_2NH, SO_2NA, NH, NHA, NHCONH, NACONH, NACONA, NHCO, NACO, NHCOO or NACOO,

R^5	is OH, OA, SA, SOA, SO_2A, SO_2NH_2, SO_2NHA, SO_2AA', CN, NO_2, NH_2, NHA, NAA', NHCOA, NHCOOA, COOH, COOA, $CONH_2$, CONHA, CONAA' or Hal,
R^6	is H, OH, OA, SA, SOA, SO_2A, SO_2NH_2, SO_2NHA, SO_2AA', CN, NO_2, NH_2, NHA, NAA', NHCOA, NHCOOA, COOH, COOA, $CONH_2$, CONHA, CONAA' or Hal,
A and A'	are each, independently of one another, branched or unbranched alkyl or alkenyl having up to 10 carbon atoms, which may be substituted by from 1 to 5 F and/or Cl atoms and/or in which one or more CH_2 groups may be replaced by O, S, SO, SO_2, NH, NR^7, NHCO, NR^7CO, NHCOO or NR^7COO,
A and A'	together are alternatively alkylene having from 3 to 7 carbon atoms, in which one or two CH_2 groups may be replaced by CHR^7, CHR^7R^8, O, S, SO, SO_2, NH, NR^7, NHCO, NR^7CO, NHCOO or NR^7COO,
B	is phenyl or Het, each of which is unsubstituted or monosubstituted or polysubstituted by R^1 and/or R^2,
Het	is an aromatic 5- or 6-membered heterocyclic ring having 1-3 N, O and/or S atoms which is unsubstituted or monosubstituted, disubstituted or trisubstituted by A", Hal or CF_3,
R^7 and R^8	are each, independently of one another, branched or unbranched alkyl or alkenyl having up to 5 carbon atoms, which may be substituted by from 1 to 5 F and/or Cl atoms and/or in which one or more CH_2 groups may be replaced by O, S, SO, SO_2 or NH,
A"	is alkyl having from 1 to 6 carbon atoms,
and	
Hal	is F, Cl, Br or I,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

2. Compounds according to Claim 1, in which

X is a phenyl radical which is monosubstituted by R^1, or is unsubstituted Het,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

3. Compounds according to Claim 1 or 2, in which

R^1 is A or Hal,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

4. Compounds according to one or more of Claims 1 to 3, in which

R^3 is COOA" or COOH,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

5. Compounds according to one or more of Claims 1 to 4, in which

R^4 is unbranched or branched alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms, which may be substituted by 1-5 F or Cl atoms,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

6. Compounds according to one or more of Claims 1 to 5, in which

R^5 is Cl or OH,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

7. Compounds according to one or more of Claims 1 to 6, in which

R^6 is H,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

8. Compounds according to Claim 1, in which

X is a phenyl radical which is monosubstituted by R^1, or is unsubstituted Het,

R^1 is A or Hal,

R^3 is COOA" or COOH,

R^4 is unbranched or branched alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms, which may be substituted by 1-5 F or Cl atoms,

R^5 is Cl or OH,

R^6 is H,

Het is furyl, thienyl, pyrrolyl, imidazolyl, pyridyl or pyrimidinyl,

A and A" are each, independently of one another, unbranched or branched alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms, which may be substituted by 1-5 F or Cl atoms,

Hal is F, Cl or Br,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

9. Compounds of the formula I according to Claim 1 from the group consisting of

ethyl 5-isopropyl-4-oxo-7-p-tolyl-4,7-dihydro-3*H*-pyrrolo[2,3-*d*]-pyrimidine-6-carboxylate,

ethyl 5-methyl-4-oxo-7-(3-chlorophenyl)-4,7-dihydro-3*H*-pyrrolo-[2,3-*d*]pyrimidine-6-carboxylate,

ethyl 5-methyl-4-oxo-7-(2-chlorophenyl)-4,7-dihydro-3*H*-pyrrolo-[2,3-*d*]pyrimidine-6-carboxylate,

ethyl 5-methyl-4-oxo-7-(2-fluorophenyl)-4,7-dihydro-3*H*-pyrrolo-[2,3-*d*]pyrimidine-6-carboxylate,

ethyl 5-propyl-4-oxo-7-(2-chlorophenyl)-4,7-dihydro-3*H*-pyrrolo-[2,3-*d*]pyrimidine-6-carboxylate,

ethyl 5-methyl-4-oxo-7-(4-chlorophenyl)-4,7-dihydro-3*H*-pyrrolo-[2,3-*d*]pyrimidine-6-carboxylate,

ethyl 5-methyl-4-oxo-7-p-tolyl-4,7-dihydro-3*H*-pyrrolo[2,3-*d*]-pyrimidine-6-carboxylate,

methyl 5-methyl-4-oxo-7-(2-chlorophenyl)-4,7-dihydro-3*H*-pyrrolo-[2,3-*d*]pyrimidine-6- carboxylate,

methyl 5-methyl-4-oxo-7-phenyl-4,7-dihydro-3*H*-pyrrolo[2,3-*d*]-pyrimidine-6- carboxylate,

methyl 5-methyl-4-oxo-7-(2-thienyl)-4,7-dihydro-3*H*-pyrrolo[2,3-*d*]-pyrimidine-6- carboxylate,

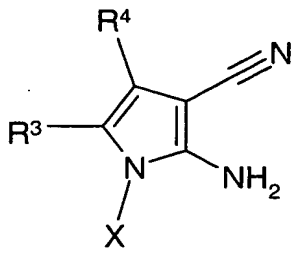
and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

10. Compounds of the formula I according to one or more of Claims 1 to 9 as phosphodiesterase VII inhibitors.

11. Compounds of the formula I and physiologically acceptable salts and solvates thereof according to one or more of Claims 1 to 9 for the treatment or prophylaxis of diseases which can be combated or influenced by compounds having PDE VII-inhibitory activity.

12. Process

a) for the preparation of compounds of the formula I in which R^5 is OH, and salts and solvates thereof, characterised in that a compound of the formula II



II

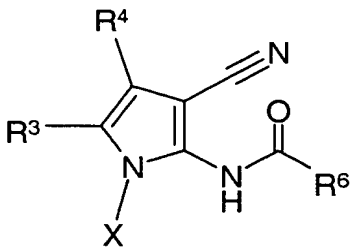
in which
R^3, R^4 and X are as defined in Claim 1,
is reacted with a compound of the formula III

$$R^6\text{-}COOH \qquad III$$

in which R^6 is as defined in Claim 1,

or

b) for the preparation of compounds of the formula I in which R^5 is OH, and salts and solvates thereof, characterised in that a compound of the formula IV



IV

in which R^3, R^4, R^6 and X are as defined in Claim 1,

is cyclised,

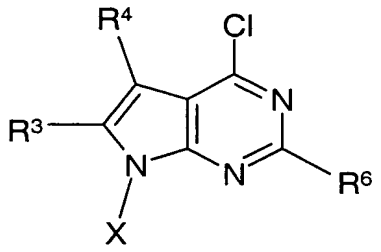
or

c) for the preparation of compounds of the formula I

in which

R^5 is OA, SA, SOA, SO_2A, SO_2NH_2, SO_2NHA, SO_2AA', CN, NO_2, NH_2, NHA, NAA', NHCOA, NHCOOA, COOH, COOA, $CONH_2$, CONHA or CONAA',

and salts and solvates thereof, characterised in that a compound of the formula V



V

in which R^3, R^4, R^6 and X are as defined in Claim 1,

is reacted with a compound of the formula VI

R^5-H VI

in which R^5 is as defined above,

and/or in that a basic compound of the formula I is converted into one of its salts by treatment with an acid.

13. Medicament comprising at least one compound of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and, if desired, excipients and/or adjuvants.

14. Use of compounds of the formula I according to one or more of Claims 1 to 9 and/or physiologically acceptable salts or solvates thereof for the preparation of a medicament for the treatment of a patient

suffering from a disease or disorder caused by the PDE VII isozyme in its role in regulating the activation and degranulation of human eosinophils.

15. Use according to Claim 14 of compounds of the formula I according to one or more of Claims 1 to 9 and/or physiologically acceptable salts or solvates thereof for the preparation of a medicament for combating allergic diseases, asthma, chronic bronchitis, atopic dermatitis, psoriasis and other skin diseases, inflammatory diseases, autoimmune diseases, such as, for example, rheumatoid arthritis, multiple sclerosis, Crohn's disease, diabetes mellitus or ulcerative colitis, osteoporosis, transplant rejection reactions, cachexia, tumour growth or tumour metastasis, sepsis, memory disorders, atherosclerosis and AIDS.

16. Use according to Claim 14 or 15 of a compound of the formula I according to Claims 1 to 9 for the preparation of a medicament for the treatment or prevention of one or more diseases, pathological disorders and conditions from the following group:

asthma of whatever type, etiology or pathogenesis, or asthma selected from the group consisting of atopic asthma, non-atopic asthma, allergic asthma, atopic, bronchial, IgE-mediated asthma, bronchial asthma, essential asthma, true asthma, intrinsic asthma caused by pathophysiologic disturbances, extrinsic asthma caused by environmental factors, essential asthma of unknown or inapparent cause, non-atopic asthma, bronchitic asthma, emphysematous asthma, exercise-induced asthma, occupational asthma, infective asthma caused by bacterial, fungal, protozoal, or viral infection, non-allergic asthma, incipient asthma, wheezy infant syndrome;

chronic or acute bronchoconstriction, chronic bronchitis, small airway obstruction and emphysema;

obstructive or inflammatory airway diseases of whatever type, etiology or pathogenesis, or an obstructive or inflammatory airway disease selected from the group consisting of asthma, pneumoconiosis,

chronic eosinophilic pneumonia, chronic obstructive pulmonary disease (COPD), COPD including chronic bronchitis, pulmonary emphysema or dyspnea associated therewith, COPD that is characterised by irreversible, progressive airway obstruction, adult respiratory distress syndrome (ARDS), and exacerbation of airway hyper-reactivity consequent to other medicament therapy;

pneumoconiosis of whatever type, etiology or pathogenesis, or pneumoconiosis selected from the group consisting of aluminosis or bauxite workers' disease, anthracosis or miners'asthma, asbestosis or steam-fitters' asthma, chalicosis or flint disease, ptilosis caused by inhaling the dust from ostrich feathers, siderosis caused by the inhalation of iron particles, silicosis or grinders' disease, byssinosis or cotton-dust asthma and talc pneumoconiosis;

bronchitis of whatever type, etiology or pathogenesis, or bronchitis selected from the group consisting of acute bronchitis, acute laryngotracheal bronchitis, arachidic bronchitis, catarrhal bronchitis, croupus bronchitis, dry bronchitis, infectious asthmatic bronchitis, productive bronchitis, staphylococcus or streptococcal bronchitis and vesicular bronchitis;

bronchiectasis of whatever type, etiology or pathogenesis, or bronchiectasis selected from the group consisting of cylindric bronchiectasis, sacculated bronchiectasis, fusiform bronchiectasis, capillary bronchiectasis, cystic bronchiectasis, dry bronchiectasis and follicular bronchiectasis;

seasonal allergic rhinitis, or perennial allergic rhinitis, or sinusitis of whatever type, etiology or pathogenesis, or sinuisitis selected from the group consisting of purulent or nonpurulent sinusitis, acute or chronic sinusitis, and ethmoid, frontal, maxillary, or sphenoid sinusitis;

rheumatoid arthritis of whatever type, etiology or pathogenesis, or rheumatoid arthritis selected from the group consisting of acute arthritis, acute gouty arthritis, chronic inflammatory arthritis, degenerative arthritis,

infectious arthritis, Lyme arthritis, proliferative arthritis, psoriatic arthritis and vertebral arthritis;

gout, and fever and pain associated with inflammation;

an eosinophil-related pathological pathological disorder of whatever type, etiology or pathogenesis, or an eosinophil-related pathological disorder selected from the group consisting of eosinophilia, pulmonary infiltration eosinophilia, Loffier's syndrome, chronic eosinophilic pneumonia, tropical pulmonary eosinophilia, bronchopneumonic aspergillosis, aspergilloma, granulomas containing eosinophils, allergic granulornatous angijtis 'or Churg-Strauss syndrome, polyarteritis nodosa (PAN) and systemic necrotising vasculitis;

atopic dermatitis, or allergic dermatitis, or allergic or atopic eczema;

urticaria of whatever type, etiology or pathogenesis, or urticaria selected from the group consisting of immune-mediated urticaria, complement-mediated urticaria, urticariogenic material-induced urticaria, physical stimulus-induced urticaria, stressinduced urticaria, idiopathic urticaria, acute urticaria, chronic urticaria, angioedema, cholinergic urticaria, cold urticaria in the autosomal dominant form or in the acquired form, contact urticaria, giant urticaria and papular urticaria;

conjunctivitis of whatever type, etiology or pathogenesis, or conjunctivitis selected from the group consisting of actinic conjunctivitis, acute catarrhal conjunctivitis, acute contagious conjunctivitis, allergic conjunctivitis, atopic conjunctivitis, chronic catarrhal conjunctivitis, purulent conjunctivitis and vernal conjunctivitis;

uveitis of whatever type, etiology or pathogenesis, or uveitis selected from the group consisting of inflammation of all or part of the uvea, anterior uveitis, iritis, cyclitis, iridocyclitis, granulornatous uveitis, nongranulornatous uveitis, phacoantigenic uveitis, posterior uveitis, choroiditis and chorioretinitis;

psoriasis;

multiple sclerosis of whatever type, etiology or pathogenesis, or

multiple sclerosis selected from the group consisting of primary progressive multiple sclerosis and relapsing remitting multiple sclerosis;

autoimmune/inflammatory diseases of whatever type, etiology or pathogenesis, or an autoimmune/inflammatory disease selected from the group consisting of autoimmune hematological disorders, hemolytic anaemia, aplastic anaemia, pure red cell anaemia, idiopathic thrombocytopenic purpura, systemic lupus erythematosus, polychondritis, scleroma, Wegner's granulomatosis, dermatomyositis, chronic active hepatitis, myasthenia gravis, Stevens-Johnson syndrome, idiopathic sprue, autoimmune inflammatory bowel diseases, ulcerative colitis, Crohn's disease, endocrin opthamopathy, Grave's disease, sarcoidosis, alveolitis, chronic hypersensitivity pneumonitis, primary biliary cirrhosis, juvenile diabetes or diabetes mellitus type 1, anterior uveitis, granulornatous or posterior uveitis, keratoconjunctivitis sicca, epidemic keratoconjunctivitis, diffuse interstitial pulmonary fibrosis or interstitial lung fibrosis, idiopathic pulmonary fibrosis, cystic fibrosis, psoriatic arthritis, glomerulonephritis with and without nephrotic syndrome, acute glomerulonephritis, idiopathic nephrotic syndrome, minimal change nephropathy, inflammatory/ hyperproliferative skin diseases, psoriasis, atopic dermatitis, contact dermatitis, allergic contact dermatitis, benign familial pemphigus, pemphigus erythematosus, pemphigus foliaceus and pemphigus vulgaris;

prevention of foreign transplant rejection following organ transplantation;

inflammatory bowel disease (IBD) of whatever type, etiology or pathogenesis, or inflammatory bowel disease selected from the group consisting of ulcerative colitis (UC), collagenous colitis, colitis polyposa, transmural colitis and Crohn's disease (CD);

septic shock of whatever type, etiology or pathogenesis, or septic shock selected from the group consisting of renal failure, acute renal failure, cachexia, malarial cachexia, hypophysial cachexia, uremic cachexia, cardiac cachexia, cachexia suprarenalis or Addison's disease,

cancerous cachexia, and cachexia as a consequence of infection by the human immunodeficiency virus (HIV);

liver damage;

pulmonary hypertension and hypoxia-induced pulmonary hypertension;

bone loss diseases, primary osteoporosis and secondary osteoporosis;

pathological disorders of the central nervous system of whatever type, etiology or pathogenesis, or a pathological disorder of the central nervous system selected from the group consisting of depression, Parkinson's disease, learning and memory impairment, tardive dyskinesia, drug dependence, arteriosclerotic dementia, and dementias that accompany Huntington's chorea, Wilson's disease, paralysis agitans and thalamic atrophies;

infections, especially viral infections, where these viruses increase the production of TNF-α in their host and where these viruses are sensitive to up-regulation of TNF-α in their host so that their replication or other vital activities are adversely affected, including viruses selected from the group consisting of HIV-1, HIV-2 and HIV-3, cytornegalovirus, CMV, influenza, adenoviruses and Herpes viruses, including Herpes zoster and Herpes simplex;

yeast and fungus infections, where these yeasts and fungi are sensitive to up-regulation by TNF-α or elicit TNF-α production in their host, for example fungal meningitis, particularly when administered in conjunction with other medicaments of choice for the treatment of systemic yeast and fungus infections, including, but not limited to, polymycins, for example polymycin B, imidazoles, for example clotrimazole, econazole, miconazole and ketoconazole, triazoles, for example fluconazole and itranazole and amphotericins, for example amphotericin B and liposomal amphotericin B;

ischemia-reperfusion damage, autoimmune diabetes, retinal autoimmunity, chronic lymphocytic leukemia, HIV infections, lupus erythematosus, kidney and ureter disease, urogenital and gastrointestinal disorders and prostate diseases.

17. Use according to Claim 14, 15 or 16 of a compound of the formula I according to Claims 1 to 9 for the preparation of a medicament for the treatment of (1) inflammatory diseases and conditions, including joint inflammation, rheumatoid arthritis, rheumatoid spondylitis, osteoarthritis, inflammatory bowel disease, ulcerative colitis, chronic glomerulonephritis, dermatitis and Crohn's disease; (2) respiratory diseases and conditions, including asthma, acute respiratory distress syndrome, chronic pulmonary inflammatory disease, bronchitis, chronic obstructive airway disease and silicosis; (3) infectious diseases and conditions, including sepsis, septic shock, endotoxic shock, Gram-negative sepsis, toxic shock syndrome, fever and myalgias due to bacterial, viral or fungal infection, and influenza; (4) immune diseases and conditions, including autoimmune diabetes, systemic lupus erythematosis, GvH reaction, rejection of foreign transplants, multiple sclerosis, psoriasis and allergic rhinitis; and (5) other diseases and conditions, including bone absorption diseases; reperfusion damage; cachexia secondary to infection or malignancy; cachexia secondary to human acquired immune deficiency syndrome (AIDS), human immunodeficiency virus (HIV) infection, or AIDS related complex (ARC); keloid formation; scar tissue formation; type 1 diabetes mellitus; and leukaemia.

18. Use according to Claim 17 of a compound of the formula I according to Claims 1 to 9 for the preparation of a medicament for the treatment of myocardial diseases.

19. Use according to Claim 18 of a compound of the formula I according to Claims 1 to 9 for the preparation of a medicament for the

treatment of myocardial diseases, where these myocardial diseases have inflammatory and immunological properties.

20. Use according to Claim 19 of a compound of the formula I according to Claims 1 to 9 for the preparation of a medicament for the treatment of coronary heart disease, reversible or irreversible myocardial ischaemia/reperfusion damage, acute or chronic heart failure and restenosis, including in-stent restenosis and stent-in-stent restenosis.

21. Combination of a compound according to Claims 1 to 9 together with one or more members of the following group:

(a) leukotriene biosynthesis inhibitors: 5-lipoxygenase (5-LO) inhibitors and 5-lipoxygenase activating protein (FLAP) antagonists selected from the group consisting of zileuton, ABT-761, fenleuton, tepoxalin, Abbott-79175, Abbott-85761, N-(5-substituted) thiophene-2-alkylsulfonamides, 2,6-di-tert-butylphenol hydrazones, Zeneca ZD-2138, SB-210661, the pyridinyl-substituted 2-cyanonaphthafene compound L-739,010, the 2-cyanoquinoline compound L-746,530, the indole and quinoline compounds MK-591, MK-886 and BAY x 1005;

(b) receptor antagonists for the leukotrienes LTB_4, LTC_4, LTD and LTE_4 selected from the group consisting of the phenothiazin-3-one compound L-651,392, the amidino compound CGS-25019c, the benz-oxaolamine compound ontazolast, the benzenecarboximidamide compound BIIL 284/260, the compounds zafirlukast, ablukast, montelukast, pranlukast, verlukast (MK-679), RG-12525, Ro-245913, iralukast (CGP 45715A) and BAY x 7195;

(c) PDE IV or VII inhibitors;

(d) 5-lipoxygenase (5-LO) inhibitors; antagonists of 5-lipoxygenase activating protein (FLAP);

(e) dual inhibitors of 5-lipoxygenase (5-LO) and antagonists of platelet activating factor (PAF);

(f) leukotriene antagonists (LTRAs), including LTB_4 , LTC_4, LTD_4 and LTE_4 antagonists;

(g) antihistamine H_1 receptor antagonists, including cetirizine, loratadine, desioratadine, fexofenadine, astemizole, azelastine and chlorpheniramine;

(h) gastroprotective H_2 receptor antagonists;

(i) α_1- and α_2-adrenoceptor agonist vasoconstrictor sympathomimetic agents administered orally or topically for decongestant use, selected from the group consisting of propylhexedrine, phenylephrine, phenylpropanolamine, pseudoephedrine, naphazoline hydrochloride, oxymetazoline hydrochloride, tetrahydrozoline hydrochloride, xylometazoline hydrochloride and ethylnorepinephrine hydrochloride;

(j) α_1- and α_2-adrenoceptor agonists as listed above under (i) in combination with one or more inhibitors of 5-lipoxygenase (5-LO) as listed above under (a);

(k) anticholinergic agents, including ipratropium bromide, tiotropiurn bromide, oxitropium bromide, pirenzepine and telenzepine;

(l) β_1- to β_4-adrenoceptor agonists selected from the group consisting of metaproterenol, isoproterenol, isoprenaline, albuterol, salbutamol,

formoterol, salmeterol, terbutaline, orciprenaline, bitolterol mesylate and pirbuterol;

(m) theophylline and aminophylline;

(n) sodium cromoglycate;

(o) muscarinic receptor (MI, M2 and M3) antagonists;

(p) COX-1 inhibitors (NSAIDs) and nitric oxide NSAIDs

(q) the COX-2 selective inhibitor rofecoxib;

(r) insulin-like growth factor type I (IGF-1) mimetics;

(s) ciclesonide;

(t) inhalation glucocorticoids with reduced systemic side effects selected from the group consisting of prednisone, prednisolone, flunisolide, triamcinolone acetonide, beclomethasone dipropionate, budesonide, fluticasone propionate and mometasone furoate;

(u) tryptase inhibitors;

(v) platelet activating factor (PAF) antagonists;

(w) monoclonal antibodies against endogenous inflammatory entities;

(x) IPL 576;

(y) antitumour necrosis factor (TNFα) agents selected from the group consisting of etanercept, infliximab and D2E7;

(z) DMARDs selected from the group consisting of leflunomide;

(aa) TCR peptides;

(bb) interleukin converting enzyme (ICE) inhibitors;

(cc) IMPDH inhibitors;

(dd) adhesion molecule inhibitors, including VLA-4 antagonists;

(ee) cathepsins;

(ff) MAP kinase inhibitors;

(gg) glucose 6-phosphate dehydrogenase inhibitors;

(hh) kinin B_1 and B_2 receptor antagonists;

(ii) gold in the form of an aurothio group together with various hydrophilic groups;

(jj) immunosuppressive agents selected from the group consisting of cyclosporine, azathioprine and methotrexate;

(kk) anti-gout agents selected from the group consisting of colchicines;

(ll) xanthine oxidase inhibitors selected from the group consisting of allopurinol;

(mm) uricosuric agents selected from the group consisting of probenecid, sulfinpyrazone and benzbromarone;

(nn) antineoplastic agents, which are antimitotic medicaments selected from the group consisting of vinblastine and vincristine;

(oo) agents for promoting growth hormone secretion;

(pp) inhibitors of matrix metalloproteases (MMPs) selected from the groups consisting of stromelysins, collagenases, gelatinases, aggrecanase, collagenase-1 (MMP-1), collagenase-2 (MMP-8), collagenase-3 (MMP-13), stromelysin-1 (MMP-3), stromelysin-2 (MMP-10) and stromelysin-3 (MMP-11);

(qq) transforming growth factor (TGFβ);

(rr) platelet-derived growth factor (PDGF);

(ss) fibroblast growth factor selected from the group consisting of basic fibroblast growth factor (bFGF);

(tt) granulocyte macrophage colony stimulating factor (GM-CSF);

(uu) capsaicin;

(vv) tachykinin NK_1 and NK_3 receptor antagonists selected from the group consisting of NKP-608C, SB233412 (talnetant) and D-4418;

(ww) elastase inhibitors selected from the group consisting of UT-77 and ZD-0892;

and

(xx) adenosine A2a receptor agonists.

22. Medicament comprising at least one compound of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.

23. Set (kit) consisting of separate packs of

(a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and

(b) an effective amount of a further medicament active ingredient.